(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 7 December 2000 (07.12.2000)

PCT

(10) Internati nal Publication Number WO 00/73416 A1

- (51) International Patent Classification⁶: C12N 5/00, 5/02, A01N 43/04, A61K 31/70, C07H 21/02, 21/04
- (21) International Application Number: PCT/US00/13488
- (22) International Filing Date: 16 May 2000 (16.05.2000)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/136,489 60/158,612 28 May 1999 (28.05.1999) US 8 October 1999 (08.10.1999) US

- (71) Applicant: UNIVERSITY OF CINCINNATI [US/US]; 2600 Clifton Avenue, Cincinnati, OH 45221-0623 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): LABARBERA, Andrew, R. [—/—]; 3725 Aylesboro Avenue, Cincinnati, OH 45208-1707 (US). ZHU, ChangHong [—/—]; Wuhan, Hubei (CN). WANG, YiFang [—/—]; 751 Parkdale Avenue #807, Ontario (CA).

- (74) Agents: GOLDSTEIN, Steven, J. et al.; Frost & Jacobs LLP, 2500 PNC Center, 201 E. 5th Street, Cincinnati, OH 45202 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO. RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published:

- With international search report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



OTH

(54) Title: ANTISENSE OLIGONUCLEOTIDES FOR FERTILITY AND MENSTRUAL CYCLE REGULATION AND FOR CHEMOPREVENTIVE AND CHEMOTHERAPEUTIC USE

(57) Abstract: The invention relates to antisense oligonucleotides, in particular to antisense oligonucleotides to receptor genes, and the use of such oligonucleotides to regulate reproductive function and as chemopreventive or as a chemotherapeutic for various cancers, especially ovarian cancers. The invention also provides a method for preventing estrogen synthesis, a function of developing ovarian follicles, a therapeutic consideration for the prevention and treatment of some cancers of the breast, endometrium, ovary and cervix and of some endometriosis. The invention also relates to pharmaceutical compositions containing antisense oligonucleotides (ODNs, having 8 to 60 nucleotides) that act by binding to intracellular molecular targets. Optionally, for efficient delivery to a target DNA, RNA or protein, the ODNs may be covalently linked to a carrier moiety, which facilitates delivery of the ODN to the cytosol.